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=> file caplus
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FILE LAST UPDATED: 27 May 2008  (20080527/ED)

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L1                STR
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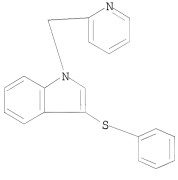


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Structure attributes must be viewed using STN Express query preparation.
L3           30 SEA FILE=REGISTRY SSS FUL L1
L4            2 SEA FILE=CAPLUS L3


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L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:1170665  CAPLUS
DOCUMENT NUMBER:          143:440257
TITLE:                    Preparation of indole derivatives as androgens
INVENTOR(S):              Van Der Louw, Jaap; Teerhuis, Neeltje Miranda;
                          Lommerse, Johannes Petrus Maria; Stock, Herman Thijs;
                          Hermkens, Pedro Harold Han
PATENT ASSIGNEE(S):       Akzo Nobel N.V., Neth.
SOURCE:                   PCT Int. Appl., 65 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
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LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005102998	A1	20051103	WO 2005-EP51766	20050421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1589003	A1	20051026	EP 2004-101700	20040423
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AU 2005235751	A1	20051103	AU 2005-235751	20050421
CA 2562571	A1	20051103	CA 2005-2562571	20050421
EP 1742912	A1	20070117	EP 2005-737941	20050421
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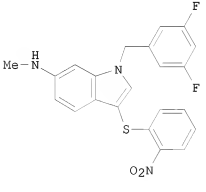
CN 1956954	A	20070502	CN 2005-80016406	20050421
BR 2005010078	A	20071016	BR 2005-10078	20050421
JP 2007533707	T	20071122	JP 2007-508908	20050421
MX 2006PA12201	A	20070117	MX 2006-PA12201	20061020
US 20070225352	A1	20070927	US 2006-587192	20061020

PRIORITY APPLN. INFO.:
EP 2004-101700 A 20040423
US 2004-565043P P 20040423
WO 2005-EP51766 W 20050421

OTHER SOURCE(S): CASREACT 143:440257; MARPAT 143:440257
GI



I

AB Indole derivs. were prepared and tested for androgenic activity. E.g., I was prepared starting from 6-nitroindole and 1-bromomethyl-3,5-difluorobenzene. The preparation of a number of indole derivs. was given and extensive androgenic activity data.

IT 868672-40-6P 868672-63-3P 868672-70-2P

868672-71-3P 868672-74-6P 868672-78-0P
868672-79-1P 868672-85-9P 868672-86-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as androgens)

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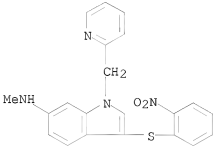

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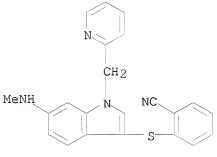

RN 868672-70-2 CAPLUS
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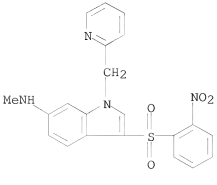

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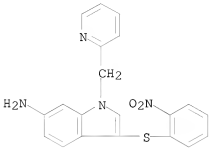

RN 868672-74-6 CAPLUS
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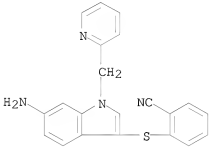

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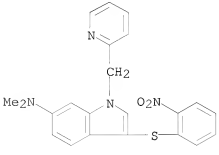
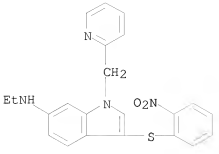


RN 868672-79-1 CAPLUS
CN 1H-Indol-6-amine, N-ethyl-3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)- (CA INDEX NAME)



RN 868672-85-9 CAPLUS
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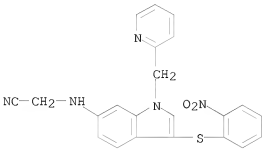

RN 868672-86-0 CAPLUS
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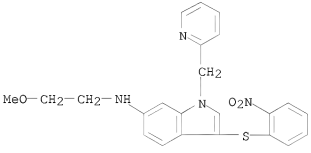
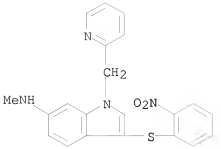


IT 868672-98-4P 868673-07-8P 868673-08-9P
868673-34-1P 868673-35-2P 868673-36-3P
868673-37-4P 868673-39-6P 868673-56-7P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as androgens)
RN 868672-98-4 CAPLUS
CN 1H-Indol-6-amine, N-methyl-3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 868673-07-8 CAPLUS
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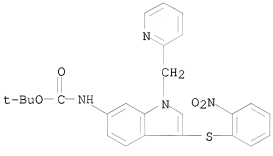
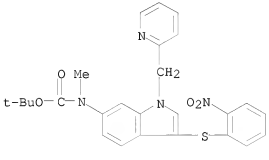
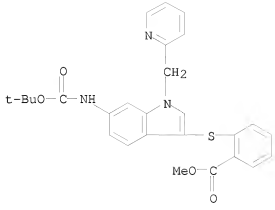


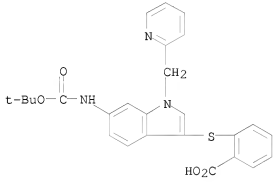
RN 868673-08-9 CAPLUS
CN Carbamic acid, methyl[3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



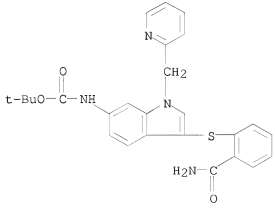
RN 868673-34-1 CAPLUS
CN Benzoic acid, 2-[[6-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(2-pyridinylmethyl)-1H-indol-3-yl]thio]-, methyl ester (CA INDEX NAME)



RN 868673-35-2 CAPLUS
CN Benzoic acid, 2-[[6-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(2-pyridinylmethyl)-1H-indol-3-yl]thio]- (CA INDEX NAME)

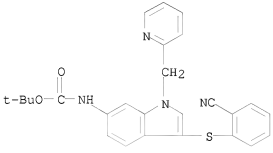


RN 868673-36-3 CAPLUS
CN Carbamic acid, [3-[[2-(aminocarbonyl)phenyl]thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

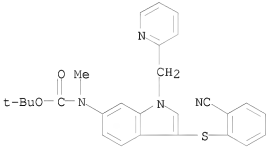


RN 868673-37-4 CAPLUS
CN Carbamic acid, [3-[(2-cyanophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-

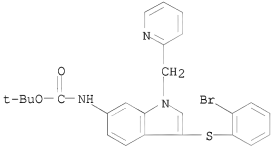
yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 868673-39-6 CAPLUS
CN Carbamic acid, [3-[(2-cyanophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 868673-56-7 CAPLUS
CN Carbamic acid, [3-[(2-bromophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:412918 CAPLUS
DOCUMENT NUMBER: 140:423584
TITLE: A preparation of indole derivatives useful in the treatment of androgen-receptor related diseases

INVENTOR(S): Hermkens, Pedro Harold Han; Stock, Herman Thijs; Teerhuis, Neeltje Miranda; Lommerse, Johannes Petrus Maria; Van der Louw, Jaap
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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EP 1585727	A1	20051019	EP 2003-810458	20031103
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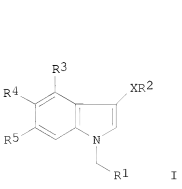
CN 1714078	A	20051228	CN 2003-80103950	20031103
JP 2006507293	T	20060302	JP 2004-549180	20031103
NO 2005002012	A	20050526	NO 2005-2012	20050425
ZA 2005003559	A	20060830	ZA 2005-3559	20050504
IN 2005CN00826	A	20070817	IN 2005-CN826	20050504
MX 2005PA04929	A	20050818	MX 2005-PA4929	20050506
US 20060128722	A1	20060615	US 2005-534945	20050506
LV 13359	B	20060320	LV 2005-68	20050607

PRIORITY APPLN. INFO.:
EP 2002-79648 A 20021107
US 2002-424579P P 20021107
WO 2003-EP50783 W 20031103

OTHER SOURCE(S): MARPAT 140:423584
GI



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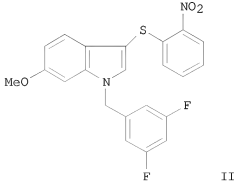
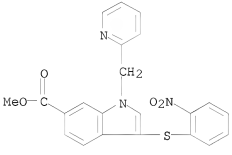


II

AB The invention relates to a preparation of indole derivs. of formula I [wherein: X = S, S(O), SO2; R1 is (un)substituted 5- or 6-membered monocyclic, (hetero/homo)cyclic ring; R2 is 2-O2NC6H4, 2-cyanophenyl, 2-hydroxymethylphenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, etc.; R3 is H, halogen or C1-4alkyl; R4 is H, OH, C1-4alkoxy, or halogen; R5 is H, OH, C1-4alkoxy, NH2, CN, halogen, C1-4fluoroalkyl, or NO2, etc.], useful for the treatment of androgen-receptor related diseases. Anti-androgenic activity of the invented compds. was determined in an in vitro bioassay of Chinese hamster ovary (CHO) cells stably transfected with the human androgen receptor expression plasmid and a reporter plasmid in which the MMTV-promoter was linked to the luciferase reporter gene. For instance, indole derivs. II (EC50 < 5 nM; efficacy > 0.8) was prepared via N-benzylation of 6-methoxyindole by 3,5-difluorobenzyl bromide, and subsequent addition of the obtained 1-(3,5-difluorobenzyl)-6-methoxy-1H-indole to 2-nitrobenzenesulfenyl chloride (example 1).

IT 691400-54-1P 691400-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole derivs. useful in the treatment of androgen-receptor related diseases)

RN 691400-54-1 CAPLUS
CN 1H-Indole-6-carboxylic acid, 3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-, methyl ester (CA INDEX NAME)



RN 691400-55-2 CAPLUS
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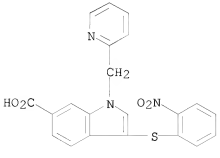
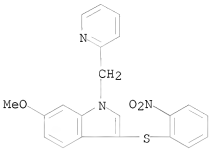


IT 691399-74-3P 691400-66-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. useful in the treatment of androgen-receptor related diseases)

RN 691399-74-3 CAPLUS
CN 1H-Indole, 6-methoxy-3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)- (CA INDEX NAME)



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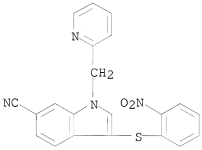
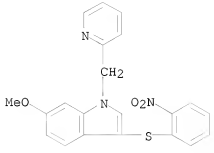


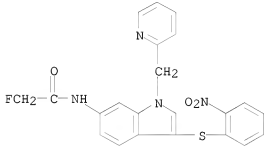
IT 691400-22-3P 691400-35-8P 691400-37-0P
691400-38-1P 691400-52-9P 691400-56-3P
691400-57-4P 691400-73-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. useful in the treatment of androgen-receptor related diseases)

RN 691400-22-3 CAPLUS
CN 1H-Indole, 6-methoxy-3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

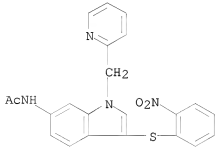


● HCl

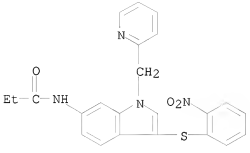
RN 691400-35-8 CAPLUS
CN Acetamide, 2-fluoro-N-[3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]- (CA INDEX NAME)



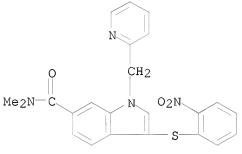
RN 691400-37-0 CAPLUS
CN Acetamide, N-[3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]- (CA INDEX NAME)



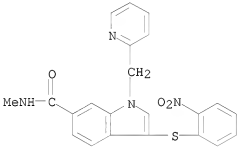
RN 691400-38-1 CAPLUS
CN Propanamide, N-[3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-1H-indol-6-yl]- (CA INDEX NAME)



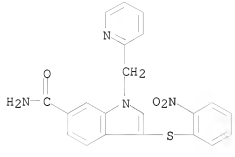
RN 691400-52-9 CAPLUS
CN 1H-Indole-6-carboxamide, N,N-dimethyl-3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)- (CA INDEX NAME)



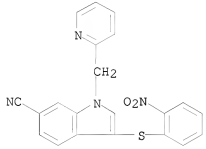
RN 691400-56-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-methyl-3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)- (CA INDEX NAME)



RN 691400-57-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)- (CA INDEX NAME)



RN 691400-73-4 CAPLUS
CN 1H-Indole-6-carbonitrile, 3-[(2-nitrophenyl)thio]-1-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

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